

## EP 1403278

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TI Process for the synthesis of N-[(S)-1-(ethoxycarbonyl)butyl]-(S)-alanine  
for use in the synthesis of perindopril

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PA Les Laboratoires Servier, Fr.

SO Eur. Pat. Appl., 9 pp.

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DT Patent

LA French

IC ICM C07K005-06

ICS C07C251-08; C07C229-30; C07C227-32; C07K005-02

CC 34-3 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 1

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 1403278	A1	20040331	EP 2003-292404	20030930 <--
EP 1403278	B1	20050608		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
AT 297407	T	20050615	AT 2003-292404	20030930
PT 1403278	T	20050930	PT 2003-292404	20030930
ES 2240926	T3	20051016	ES 2003-3292404	20030930
WO 2005033127	A1	20050414	WO 2004-FR2463	20040929
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRAI EP 2003-292404 A 20030930

CLASS

PATENT NO. CLASS PATENT FAMILY CLASSIFICATION CODES

EP 1403278 ICM C07K005-06

ICS C07C251-08; C07C229-30; C07C227-32; C07K005-02

IPC I C07K0005-06 [ICM,7]; C07C0251-08 [ICS,7]; C07C0251-00  
[ICS,7,C\*]; C07C0229-30 [ICS,7]; C07C0229-00  
[ICS,7,C\*]; C07C0227-32 [ICS,7]; C07C0227-00  
[ICS,7,C\*]; C07K0005-02 [ICS,7]; C07K0005-00 [ICS,7,C\*]

IPCR C07C0227-00 [I,C\*]; C07C0227-32 [I,A]; C07C0229-00  
[I,C\*]; C07C0229-30 [I,A]; C07C0251-00 [I,C\*];  
C07C0251-08 [I,A]; C07K0005-00 [I,C\*]; C07K0005-02  
[I,A]; C07K0005-06 [I,A]

ECLA C07C227/32; C07C229/30; C07C251/08; C07K005/02H1A;  
C07K005/06A1H

AT 297407 IPCI C07K0005-06 [ICM,7]; C07C0251-08 [ICS,7]; C07C0251-00 [ICS,7,C\*]; C07C0229-30 [ICS,7]; C07C0229-00 [ICS,7,C\*]; C07C0227-32 [ICS,7]; C07C0227-00 [ICS,7,C\*]; C07K0005-02 [ICS,7]; C07K0005-00 [ICS,7,C\*]  
ECLA C07C227/32; C07C229/30; C07C251/08; C07K005/02H1A; C07K005/06A1H

PT 1403278 IPCI C07K0005-06 [ICM,7]; C07C0251-08 [ICS,7]; C07C0251-00 [ICS,7,C\*]; C07C0229-30 [ICS,7]; C07C0229-00 [ICS,7,C\*]; C07C0227-32 [ICS,7]; C07C0227-00 [ICS,7,C\*]; C07K0005-02 [ICS,7]; C07K0005-00 [ICS,7,C\*]  
IPCR C07C0227-00 [I,C\*]; C07C0227-32 [I,A]; C07C0229-00 [I,C\*]; C07C0229-30 [I,A]; C07C0251-00 [I,C\*]; C07C0251-08 [I,A]; C07K0005-00 [I,C\*]; C07K0005-02 [I,A]; C07K0005-06 [I,A]  
ECLA C07C227/32; C07C229/30; C07C251/08; C07K005/02H1A; C07K005/06A1H

ES 2240926 IPCI C07K0005-06 [ICM,7]; C07C0251-08 [ICS,7]; C07C0251-00 [ICS,7,C\*]; C07C0229-30 [ICS,7]; C07C0229-00 [ICS,7,C\*]; C07C0227-32 [ICS,7]; C07C0227-00 [ICS,7,C\*]; C07K0005-02 [ICS,7]; C07K0005-00 [ICS,7,C\*]  
ECLA C07C227/32; C07C229/30; C07C251/08; C07K005/02H1A; C07K005/06A1H

WO 2005033127 IPCI C07K0005-06 [ICM,7]; C07C0251-08 [ICS,7]; C07C0251-00 [ICS,7,C\*]; C07C0229-30 [ICS,7]; C07C0229-00 [ICS,7,C\*]; C07C0227-32 [ICS,7]; C07C0227-00 [ICS,7,C\*]; C07K0005-02 [ICS,7]; C07K0005-00 [ICS,7,C\*]  
IPCR C07C0227-00 [I,C\*]; C07C0227-32 [I,A]; C07C0229-00 [I,C\*]; C07C0229-30 [I,A]; C07C0251-00 [I,C\*]; C07C0251-08 [I,A]; C07K0005-00 [I,C\*]; C07K0005-02 [I,A]; C07K0005-06 [I,A]  
ECLA C07C227/32; C07C229/30; C07C251/08; C07K005/02H1A; C07K005/06A1H

OS MARPAT 140:253919

AB Perindopril intermediate (S)-EtO2CCHPr-L-Ala-OH was prepd. by condensation of L-alanine alkyl or benzyl ester with Et glyoxylate or Et chloro(cyclohexyloxy)acetate, followed by allylation with allylzinc bromide, and catalytic hydrogenation.

ST carbethoxybutylalanine prepn intermediate perindopril; alanine carbethoxybutyl prepn intermediate perindopril

IT 82834-12-6P 82834-14-8P  
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)  
(synthesis of [(ethoxycarbonyl)butyl]alanine for use in prepn. of perindopril)

IT 82834-16-0P, Perindopril  
RL: PNU (Preparation, unclassified); PREP (Preparation)  
(synthesis of [(ethoxycarbonyl)butyl]alanine for use in prepn. of perindopril)

IT 924-44-7, Ethyl glyoxylate 13404-22-3, L-Alanine, 1,1-dimethylethyl ester, hydrochloride 18925-10-5, Allylzinc bromide 21691-50-9 90977-01-8  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(synthesis of [(ethoxycarbonyl)butyl]alanine for use in prepn. of perindopril)

IT 669088-67-9P 671212-81-0P 671212-82-1P 671212-83-2P 671212-84-3P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of [(ethoxycarbonyl)butyl]alanine for use in prepn. of  
perindopril)

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
RE

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(2) Adir; WO 0156972 A 2001 CAPLUS

(3) Bemmion, C; JOURNAL OF MEDICINAL CHEMISTRY 1991, V34(1), P439 CAPLUS

(4) Vincent, M; DRUG DESIGN AND DISCOVERY 1992, V9(1), P11 CAPLUS

